

462
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 NEWS 4 AUG 05 New pricing for EUROPATFULL and PCTFULL effective August 1, 2003
 NEWS 5 AUG 13 Field Availability (/FA) field enhanced in BEILSTEIN
 NEWS 6 AUG 18 Data available for download as a PDF in RDISCLOSURE
 NEWS 7 AUG 18 Simultaneous left and right truncation added to PASCAL
 NEWS 8 AUG 18 FROSTI and KOSMET enhanced with Simultaneous Left and Right Truncation
 NEWS 9 AUG 18 Simultaneous left and right truncation added to ANABSTR
 NEWS 10 SEP 22 DIPPR file reloaded
 NEWS 11 SEP 25 INPADOC: Legal Status data to be reloaded
 NEWS 12 SEP 29 DISSABS now available on STN
 NEWS 13 OCT 10 PCTFULL: Two new display fields added
 NEWS EXPRESS OCTOBER 01 CURRENT WINDOWS VERSION IS V6.01a, CURRENT MACINTOSH VERSION IS V6.0b(ENG) AND V6.0Jb(JP), AND CURRENT DISCOVER FILE IS DATED 23 SEPTEMBER 2003
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=> file reg		
COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	0.21	0.21

FILE 'REGISTRY' ENTERED AT 08:26:06 ON 11 OCT 2003

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STRUCTURE FILE UPDATES: 9 OCT 2003 HIGHEST RN 602261-48-3
DICTIONARY FILE UPDATES: 9 OCT 2003 HIGHEST RN 602261-48-3

TSCA INFORMATION NOW CURRENT THROUGH JULY 14, 2003

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Experimental and calculated property data are now available. See HELP
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in the CAS Registry File, for complete details:
<http://www.cas.org/ONLINE/STN/STNOTES/stnotes27.pdf>

=>
Uploading 1008734.1

L1 STRUCTURE UPLOADED

=> d l1
L1 HAS NO ANSWERS
L1 STR
*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***

Structure attributes must be viewed using STN Express query preparation.

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FULL SEARCH INITIATED 08:26:49 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 85 TO ITERATE

100.0% PROCESSED 85 ITERATIONS 44 ANSWERS
SEARCH TIME: 00.00.01

L2 44 SEA SSS FUL L1

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COST IN U.S. DOLLARS SINCE FILE TOTAL
ENTRY SESSION
FULL ESTIMATED COST 148.15 148.36

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FILE COVERS 1907 - 11 Oct 2003 VOL 139 ISS 16
FILE LAST UPDATED: 10 Oct 2003 (20031010/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s l2

L3 1 L2

=> s benzofurane and tetrazole

L4 0 BENZOFURANE AND TETRAZOLE

=> s Ip antagonists and AD

L5 0 IP ANTAGONISTS AND AD

=> s IP antagonists

L6 3 IP ANTAGONISTS

=> s l6 and l3

L7 1 L6 AND L3

=> d his

(FILE 'HOME' ENTERED AT 08:25:56 ON 11 OCT 2003)

FILE 'REGISTRY' ENTERED AT 08:26:06 ON 11 OCT 2003

L1 STRUCTURE UPLOADED

L2 44 S L1 SSS FULL

FILE 'CAPLUS' ENTERED AT 08:26:55 ON 11 OCT 2003

L3 1 S L2

L4 0 S BENZOFURANE AND TETRAZOLE

L5 0 S IP ANTAGONISTS AND AD

L6 3 S IP ANTAGONISTS

L7 1 S L6 AND L3

=> d l3 fbib hitstr abs total

L3 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2003 ACS on STN

AN 2002:695967 CAPLUS

DN 137:232672

TI Preparation of substituted benzofuran-2-ylmethyl phenylcarbamates as IP antagonists

IN Lopez-Tapia, Francisco Javier; Nitzan, Dov; O'Yang, Counde

PA F. Hoffmann-La Roche A.-G., Switz.

SO PCT Int. Appl., 69 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

Misc

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2002070500	A1	20020912	WO 2002-EP1943	20020225
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	US 2002165235	A1	20021107	US 2001-272872PP	20010302
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OS MARPAT 137:232672

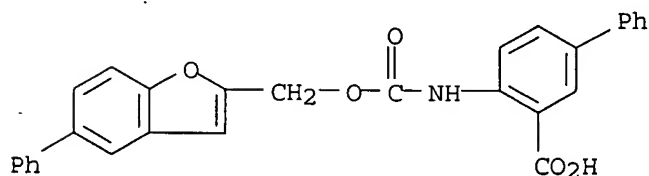
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 458537-79-6P 458537-80-9P 458537-82-1P
 458537-83-2P 458538-18-6P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
 (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
 (Uses)

(prepn. of substituted benzofuran-2-ylmethyl phenylcarbamates as IP
 antagonists)

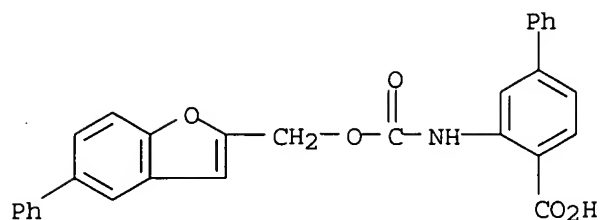
RN 458537-01-4 CAPLUS

CN [1,1'-Biphenyl]-3-carboxylic acid, 4-[[[(5-phenyl-2-
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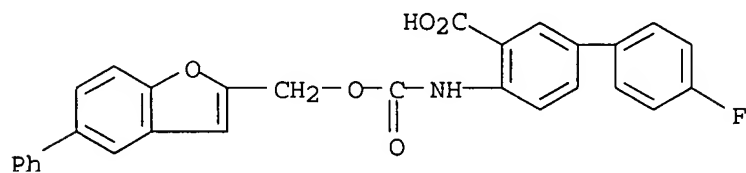
RN 458537-03-6 CAPLUS

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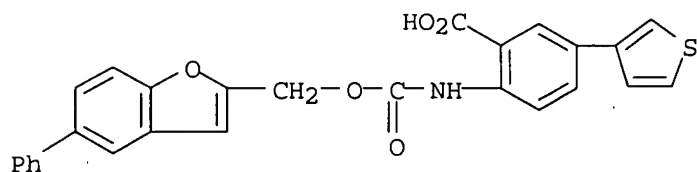
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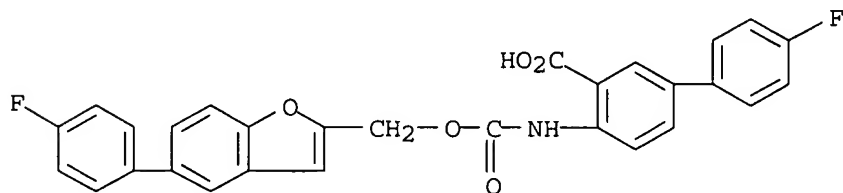
RN 458537-07-0 CAPLUS

CN Benzoic acid, 2-[[[(5-phenyl-2-benzofuranyl)methoxy]carbonyl]amino] - (9CI) (CA INDEX NAME)



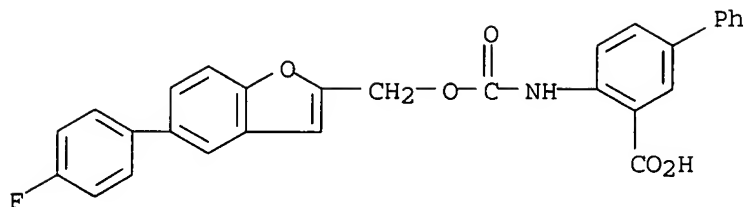
RN 458537-09-2 CAPLUS

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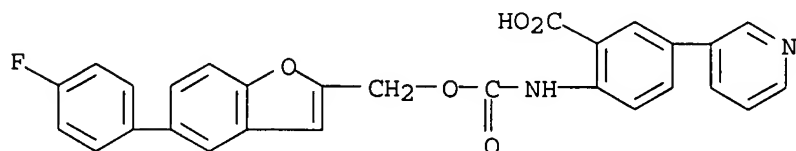
RN 458537-11-6 CAPLUS

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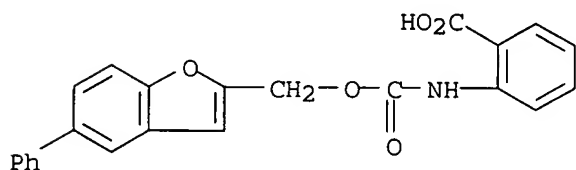
RN 458537-13-8 CAPLUS

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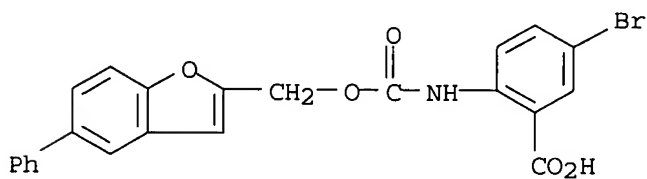
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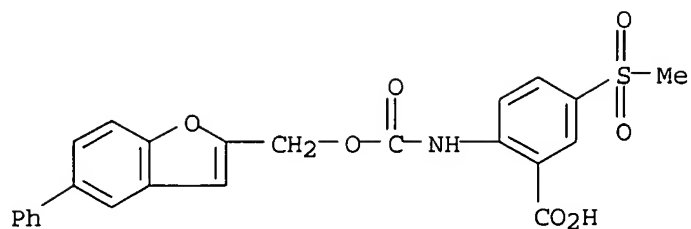
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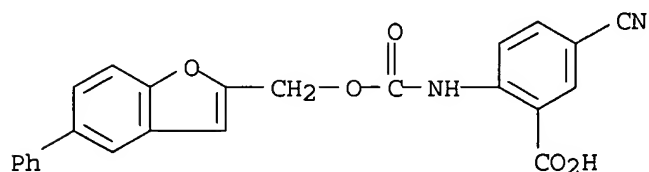
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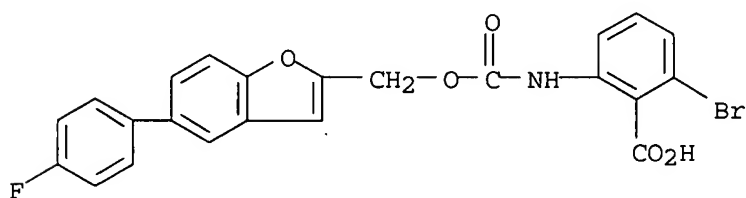
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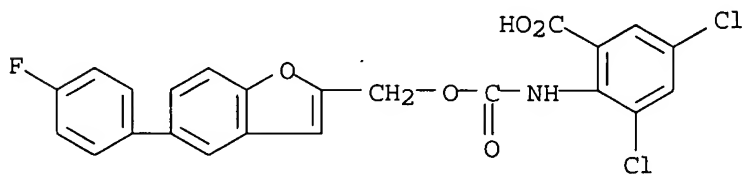
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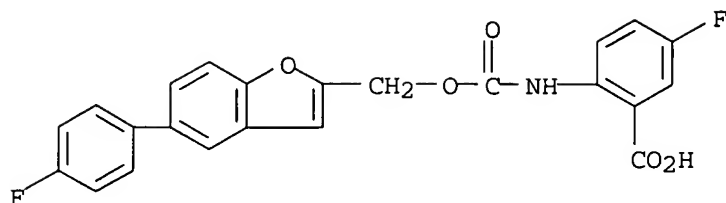
RN 458537-25-2 CAPLUS

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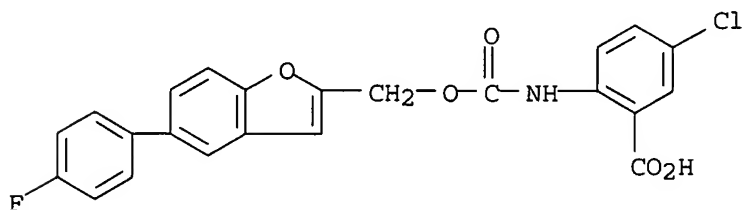


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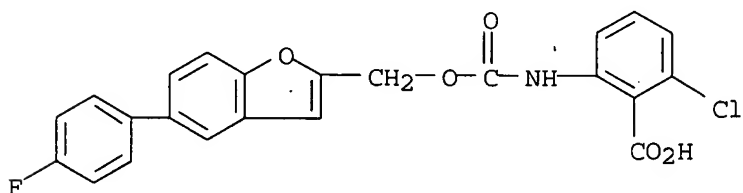
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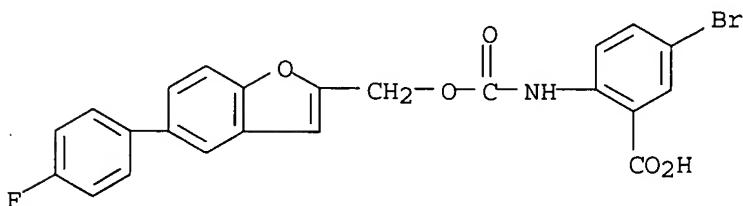
RN 458537-29-6 CAPLUS
 CN Benzoic acid, 5-chloro-2-[[[5-(4-fluorophenyl)-2-benzofuranyl]methoxy]carbonyl]amino] - (9CI) (CA INDEX NAME)



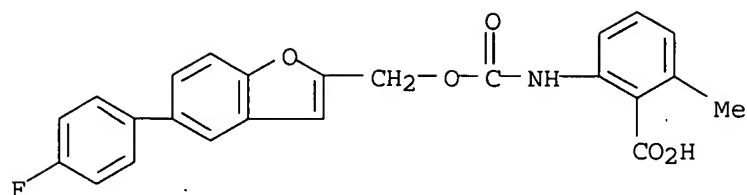
RN 458537-31-0 CAPLUS
 CN Benzoic acid, 2-chloro-6-[[[5-(4-fluorophenyl)-2-benzofuranyl]methoxy]carbonyl]amino] - (9CI) (CA INDEX NAME)



RN 458537-32-1 CAPLUS
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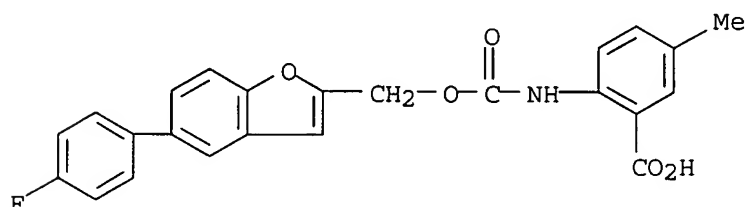


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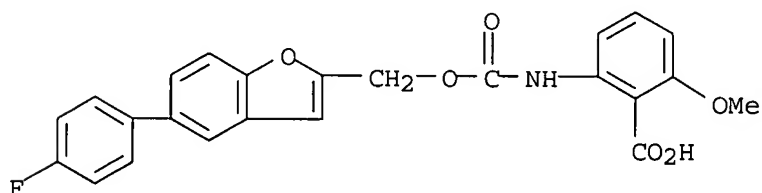
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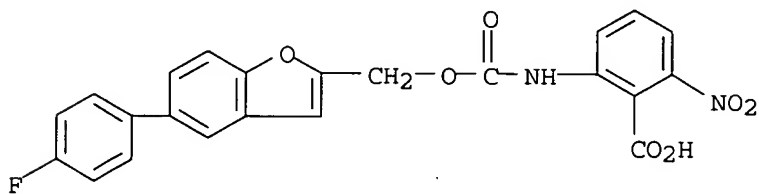
RN 458537-38-7 CAPLUS

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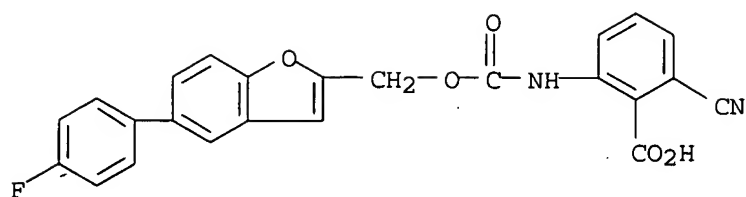
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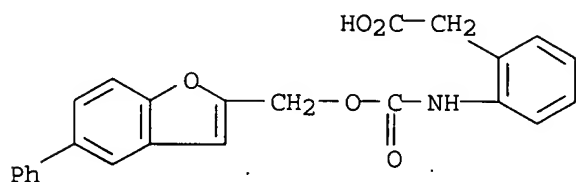
RN 458537-42-3 CAPLUS

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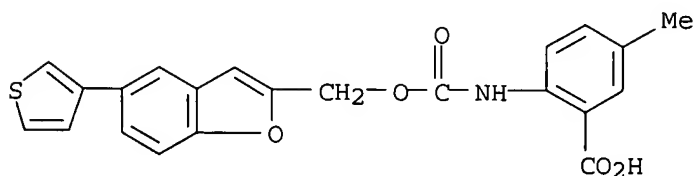
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CN Benzenecetic acid, 2-[[[5-(4-fluorophenyl)-2-benzofuranyl]methoxy]carbonyl]amino]- (9CI) (CA INDEX NAME)



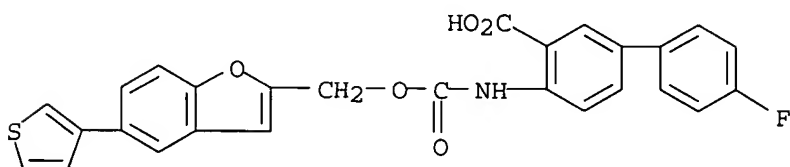
RN 458537-46-7 CAPLUS

CN Benzoic acid, 5-methyl-2-[[[5-(3-phenyl)-2-benzofuranyl]methoxy]carbonyl]amino]- (9CI) (CA INDEX NAME)



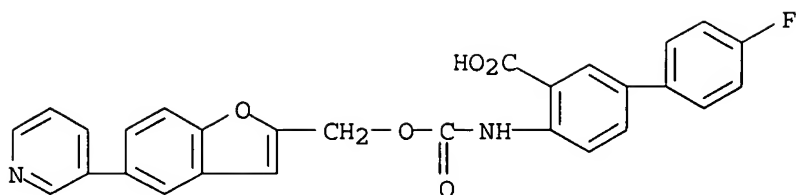
RN 458537-48-9 CAPLUS

CN [1,1'-Biphenyl]-3-carboxylic acid, 4'-fluoro-4-[[[5-(3-thienyl)-2-benzofuranyl]methoxy]carbonyl]amino]- (9CI) (CA INDEX NAME)

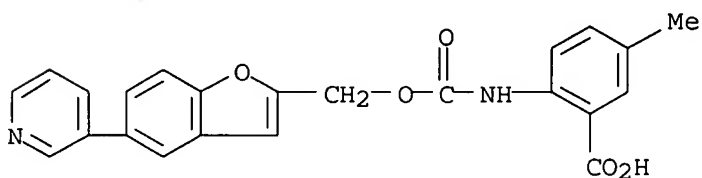


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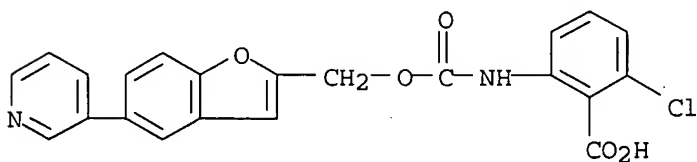
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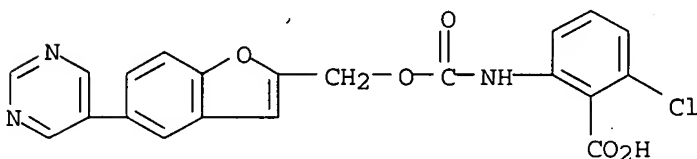
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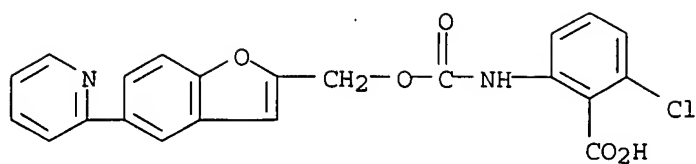
RN 458537-53-6 CAPLUS
 CN Benzoic acid, 2-chloro-6-[[[5-(3-pyridinyl)-2-benzofuranyl]methoxy]carbonyl]amino] - (9CI) (CA INDEX NAME)



RN 458537-55-8 CAPLUS
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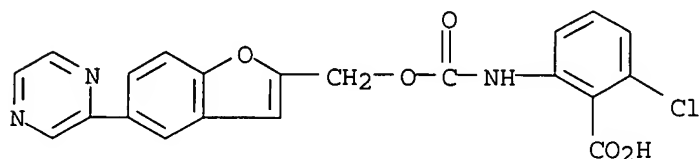


RN 458537-57-0 CAPLUS
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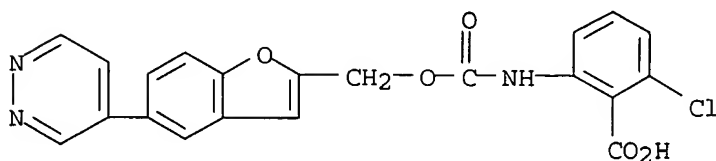
RN 458537-59-2 CAPLUS

CN Benzoic acid, 2-chloro-6-[[[(5-pyrazinyl-2-benzofuranyl)methoxy]carbonyl]amino]- (9CI) (CA INDEX NAME)



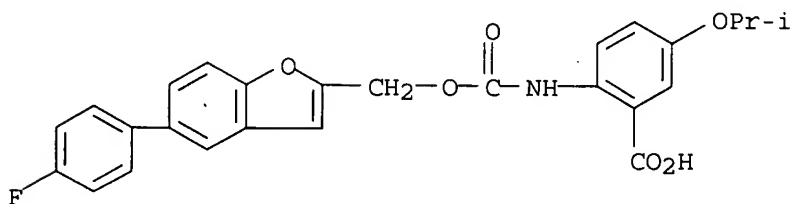
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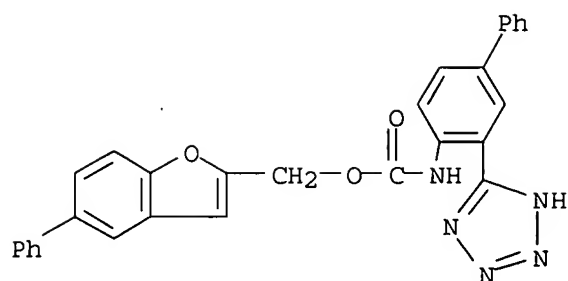
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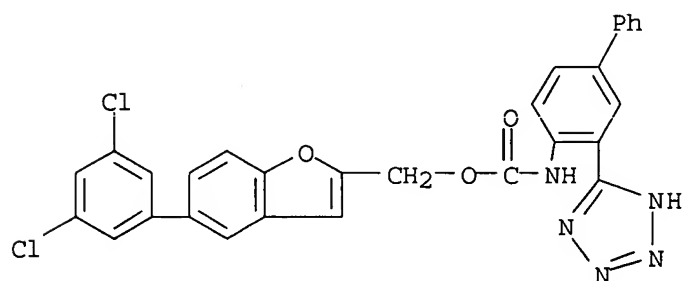
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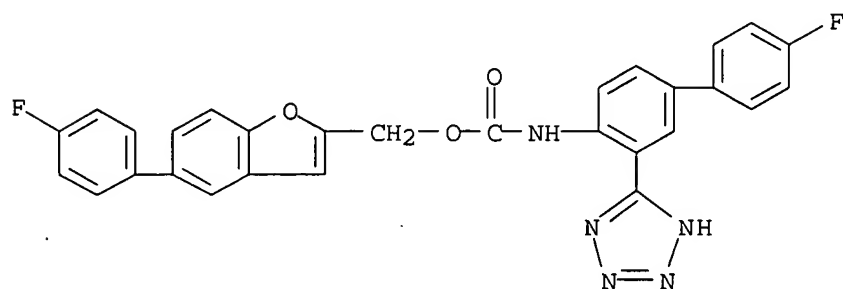
RN 458537-74-1 CAPLUS

CN Carbamic acid, [3-(1H-tetrazol-5-yl)[1,1'-biphenyl]-4-yl]-, [5-(3,5-dichlorophenyl)-2-benzofuranyl]methyl ester (9CI) (CA INDEX NAME)



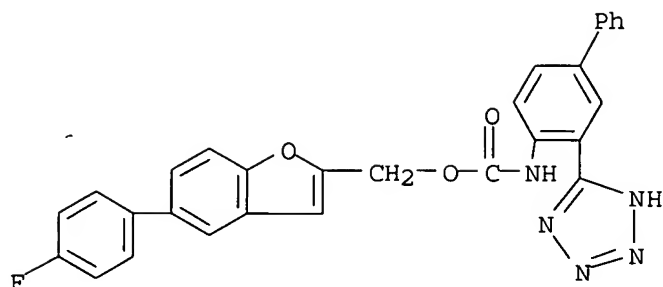
RN 458537-75-2 CAPLUS

CN Carbamic acid, [4'-fluoro-3-(1H-tetrazol-5-yl)[1,1'-biphenyl]-4-yl]-, [5-(4-fluorophenyl)-2-benzofuranyl]methyl ester (9CI) (CA INDEX NAME)



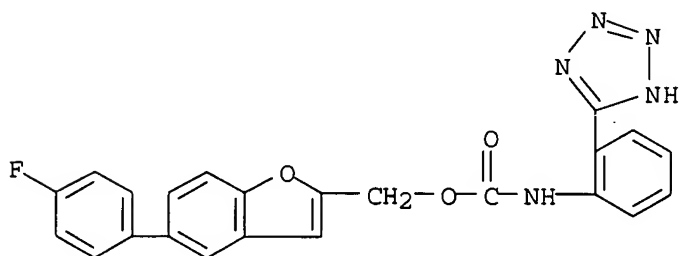
RN 458537-76-3 CAPLUS

CN Carbamic acid, [3-(1H-tetrazol-5-yl)[1,1'-biphenyl]-4-yl]-, [5-(4-fluorophenyl)-2-benzofuranyl]methyl ester (9CI) (CA INDEX NAME)



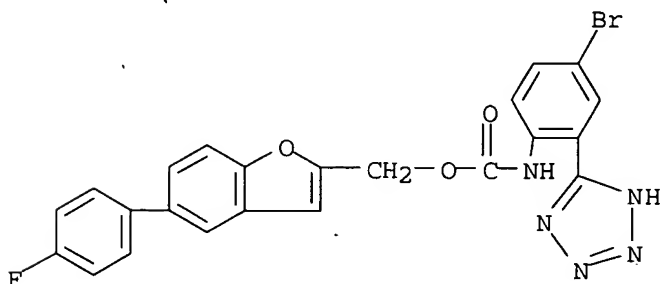
RN 458537-77-4 CAPLUS

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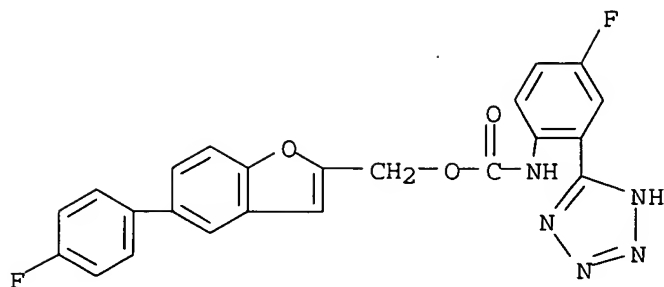
RN 458537-78-5 CAPLUS

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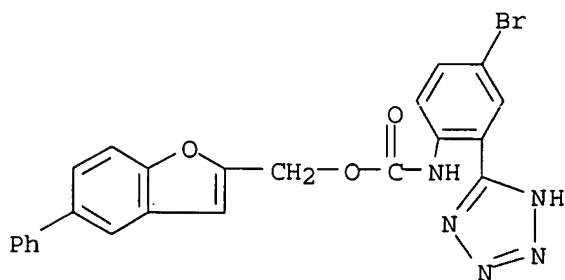
RN 458537-79-6 CAPLUS

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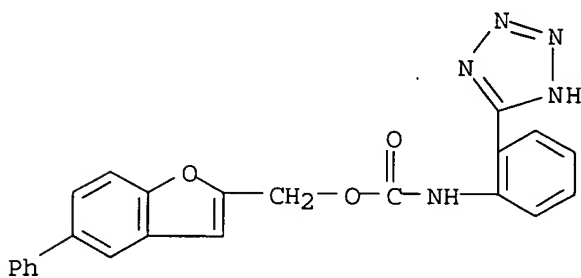
RN 458537-80-9 CAPLUS

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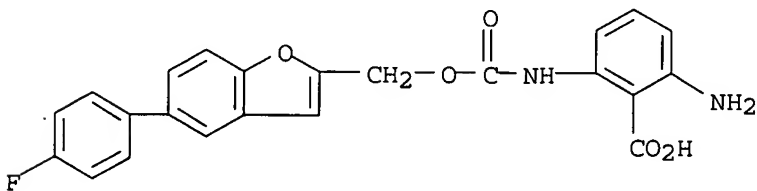
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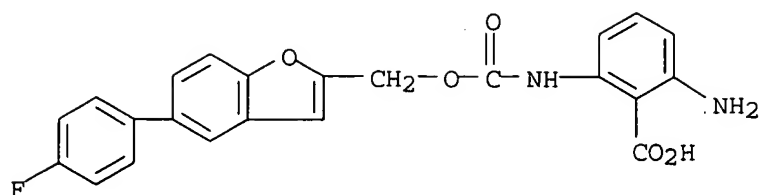
CN Carbamic acid, [2-(1H-tetrazol-5-yl)phenyl]-, (5-phenyl-2-benzofuranyl)methyl ester (9CI) (CA INDEX NAME)



RN 458537-83-2 CAPLUS

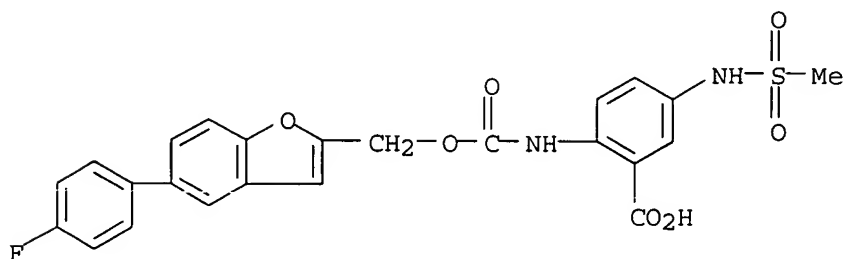
CN Benzoic acid, 2-amino-6-[[[5-(4-fluorophenyl)-2-benzofuranyl]methoxy]carbonyl]amino]- (9CI) (CA INDEX NAME)



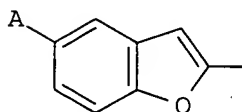


RN 458538-18-6 CAPLUS

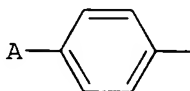
CN Benzoic acid, 2-[[[5-(4-fluorophenyl)-2-benzofuranyl]methoxy]carbonyl]amino]-5-[(methylsulfonyl)amino]- (9CI) (CA INDEX NAME)



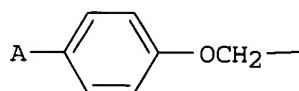
GI



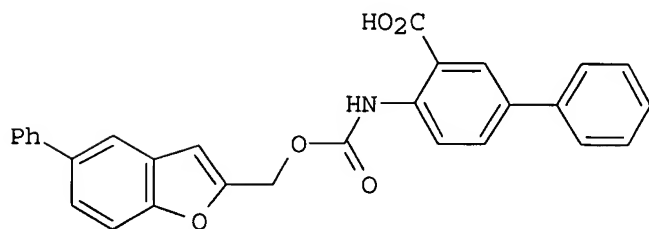
II



III



IV



V

AB The title alkoxycarbonylamino benzoic acid or alkoxycarbonylamino tetrazolyl Ph derivs. G1CH2OCONHG2 [I; G1 = II-IV (wherein A = (un)substituted Ph, pyridyl, pyrimidinyl, etc.); G2 = (un)substituted

2-carboxyphenyl, 3-carboxybiphenyl-4-yl, 3-(1H-tetrazol-5-yl)biphenyl-4-yl, etc.] which are generally IP receptor antagonists useful in treating disorders of the urinary tract, pain, inflammation, respiratory states such as allergies and asthma, edema formation or hypotensive vascular diseases, were prepd. and formulated. Thus, treating Me 2-amino-5-phenylbenzoate (prepn. given) with phosgene followed by addn. of (5-phenylbenzofuran-2-yl)methanol (prepn. given), and hydrolysis of the resulting Me ester afforded the acid V which showed pK_i of 7.6 in in vitro human platelet receptor binding assay.

RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> d 16 fbib hitstr abstotal
'ABSTOTAL' IS NOT A VALID FORMAT FOR FILE 'CAPLUS'

The following are valid formats:

ABS ----- GI and AB
ALL ----- BIB, AB, IND, RE
APPS ----- AI, PRAI
BIB ----- AN, plus Bibliographic Data and PI table (default)
CAN ----- List of CA abstract numbers without answer numbers
CBIB ----- AN, plus Compressed Bibliographic Data
DALL ----- ALL, delimited (end of each field identified)
DMAX ----- MAX, delimited for post-processing
FAM ----- AN, PI and PRAI in table, plus Patent Family data
FBIB ----- AN, BIB, plus Patent FAM
IND ----- Indexing data
IPC ----- International Patent Classifications
MAX ----- ALL, plus Patent FAM, RE
PATS ----- PI, SO
SAM ----- CC, SX, TI, ST, IT
SCAN ----- CC, SX, TI, ST, IT (random display, no answer numbers;
SCAN must be entered on the same line as the DISPLAY,
e.g., D SCAN or DISPLAY SCAN)
STD ----- BIB, IPC, and NCL

IABS ----- ABS, indented with text labels
IALL ----- ALL, indented with text labels
IBIB ----- BIB, indented with text labels
IMAX ----- MAX, indented with text labels
ISTD ----- STD, indented with text labels

OBIB ----- AN, plus Bibliographic Data (original)
OIBIB ----- OBIB, indented with text labels

SBIB ----- BIB, no citations
SIBIB ----- IBIB, no citations

HIT ----- Fields containing hit terms
HITIND ----- IC, ICA, ICI, NCL, CC and index field (ST and IT)
containing hit terms
HITRN ----- HIT RN and its text modification
HITSTR ----- HIT RN, its text modification, its CA index name, and
its structure diagram
HITSEQ ----- HIT RN, its text modification, its CA index name, its
structure diagram, plus NTE and SEQ fields

FHITSTR ----- First HIT RN, its text modification, its CA index name, and its structure diagram
 FHITSEQ ----- First HIT RN, its text modification, its CA index name, its structure diagram, plus NTE and SEQ fields
 KWIC ----- Hit term plus 20 words on either side
 OCC ----- Number of occurrence of hit term and field in which it occurs

To display a particular field or fields, enter the display field codes. For a list of the display field codes, enter HELP DFIELDS at an arrow prompt' (=). Examples of formats include: TI; TI,AU; BIB,ST; TI,IND; TI,SO. You may specify the format fields in any order and the information will be displayed in the same order as the format specification.

All of the formats (except for SAM, SCAN, HIT, HITIND, HITRN, HITSTR, FHITSTR, HITSEQ, FHITSEQ, KWIC, and OCC) may be used with DISPLAY ACC to view a specified Accession Number.

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 'BIBN' IS NOT A VALID FORMAT FOR FILE 'CAPLUS'

The following are valid formats:

ABS ----- GI and AB
 ALL ----- BIB, AB, IND, RE
 APPS ----- AI, PRAI
 BIB ----- AN, plus Bibliographic Data and PI table (default)
 CAN ----- List of CA abstract numbers without answer numbers
 CBIB ----- AN, plus Compressed Bibliographic Data
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 DMAX ----- MAX, delimited for post-processing
 FAM ----- AN, PI and PRAI in table, plus Patent Family data
 FBIB ----- AN, BIB, plus Patent FAM
 IND ----- Indexing data
 IPC ----- International Patent Classifications
 MAX ----- ALL, plus Patent FAM, RE
 PATS ----- PI, SO
 SAM ----- CC, SX, TI, ST, IT
 SCAN ----- CC, SX, TI, ST, IT (random display, no answer numbers;
 SCAN must be entered on the same line as the DISPLAY,
 e.g., D SCAN or DISPLAY SCAN)
 STD ----- BIB, IPC, and NCL

 IABS ----- ABS, indented with text labels
 IALL ----- ALL, indented with text labels
 IBIB ----- BIB, indented with text labels
 IMAX ----- MAX, indented with text labels
 ISTD ----- STD, indented with text labels

 OBIB ----- AN, plus Bibliographic Data (original)
 OIBIB ----- OBIB, indented with text labels

 SBIB ----- BIB, no citations
 SIBIB ----- IBIB, no citations

 HIT ----- Fields containing hit terms
 HITIND ----- IC, ICA, ICI, NCL, CC and index field (ST and IT)
 containing hit terms
 HITRN ----- HIT RN and its text modification

HITSTR ----- HIT RN, its text modification, its CA index name, and
its structure diagram
HITSEQ ----- HIT RN, its text modification, its CA index name, its
structure diagram, plus NTE and SEQ fields
FHITSTR ----- First HIT RN, its text modification, its CA index name, and
its structure diagram
FHITSEQ ----- First HIT RN, its text modification, its CA index name, its
structure diagram, plus NTE and SEQ fields
KWIC ----- Hit term plus 20 words on either side
OCC ----- Number of occurrence of hit term and field in which it occurs

To display a particular field or fields, enter the display field
codes. For a list of the display field codes, enter HELP DFIELDS at
an arrow prompt (=>). Examples of formats include: TI; TI,AU; BIB,ST;
TI,IND; TI,SO. You may specify the format fields in any order and the
information will be displayed in the same order as the format
specification.

All of the formats (except for SAM, SCAN, HIT, HITIND, HITRN, HITSTR,
FHITSTR, HITSEQ, FHITSEQ, KWIC, and OCC) may be used with DISPLAY ACC.
to view a specified Accession Number.

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L6 ANSWER 1 OF 3 CAPLUS COPYRIGHT 2003 ACS on STN
AN 2002:695978 CAPLUS
DN 137:232662
TI Preparation of alkoxy-carbonylamino heteroaryl carboxylic acids as
IP antagonists
IN Lopez-Tapia, Francisco Javier; Nitzan, Dov; O'Yang, Counde
PA F. Hoffmann-La Roche A.-G., Switz.
SO PCT Int. Appl., 45 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002070514	A1	20020912	WO 2002-EP1942	20020225
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2002169171	A1	20021114	US 2002-86615	20020301
US 6569860	B2	20030527		
PRAI US 2001-272849P	P	20010302		
OS MARPAT 137:232662				

RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

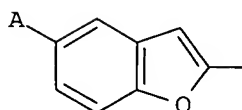
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L6 ANSWER 1 OF 3 CAPLUS COPYRIGHT 2003 ACS on STN

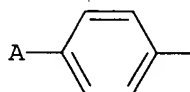
AN 2002:695978 CAPLUS
 DN 137:232662
 TI Preparation of alkoxy-carbonylamino heteroaryl carboxylic acids as
IP antagonists
 IN Lopez-Tapia, Francisco Javier; Nitzan, Dov; O'Yang, Counde
 PA F. Hoffmann-La Roche A.-G., Switz.
 SO PCT Int. Appl., 45 pp.
 CODEN: PIXXD2
 DT Patent
 LA English
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2002070514	A1	20020912	WO 2002-EP1942	20020225
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
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	US 6569860	B2	20030527	US 2002-86615	20020301
				US 2001-272849PP	20010302

OS MARPAT 137:232662
 GI



II



III

AB The title compds. $G1CH_2OCONHG_2$ [I; $G1 = II, III$ (wherein A = (un)substituted Ph, pyridyl, pyrimidinyl, thienyl); $G_2 =$ (un)substituted 4-carboxypyrimidin-5-yl, 4-carboxypyrazol-3-yl, 4-carboxypyridin-3-yl, etc.] which are IP receptor antagonists, useful in treating disorders of the urinary tract, pain, inflammation, respiratory states such as allergies and asthma, edema formation or hypotensive vascular diseases, were prepd. and formulated. Thus, treating Et 3-aminopyridine-4-carboxylate.HCl with phosgene followed by addn. of (5-phenylbenzofuran-2-yl)methanol (prepn. given), and hydrolysis of the ester afforded I [$G1 = II$; A = Ph; $G_2 =$ 4-carboxypyridin-3-yl] which showed pK_i of 6.7 in in vitro human platelet IP receptor binding assay.

RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

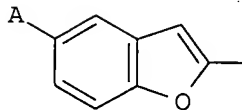
L6 ANSWER 2 OF 3 CAPLUS COPYRIGHT 2003 ACS on STN
 AN 2002:695967 CAPLUS
 DN 137:232672
 TI Preparation of substituted benzofuran-2-ylmethyl phenylcarbamates as
IP antagonists
 IN Lopez-Tapia, Francisco Javier; Nitzan, Dov; O'Yang, Counde

PA F. Hoffmann-La Roche A.-G., Switz.
 SO PCT Int. Appl., 69 pp.
 CODEN: PIXXD2
 DT Patent
 LA English
 FAN.CNT 1

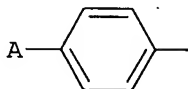
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PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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US 2002165235	A1	20021107	US 2001-272872PP	20010302
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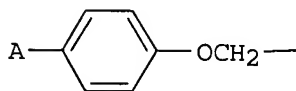
OS MARPAT 137:232672
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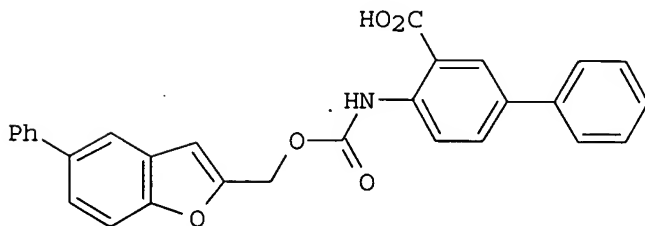
II



III



IV



V

AB The title alkoxy-carbonylamino benzoic acid or alkoxy-carbonylamino tetrazolyl Ph derivs. G1CH2OCONHG2 [I; G1 = II-IV (wherein A = (un)substituted Ph, pyridyl, pyrimidinyl, etc.); G2 = (un)substituted 2-carboxyphenyl, 3-carboxybiphenyl-4-yl, 3-(1H-tetrazol-5-yl)biphenyl-4-

yl, etc.] which are generally IP receptor antagonists useful in treating disorders of the urinary tract, pain, inflammation, respiratory states such as allergies and asthma, edema formation or hypotensive vascular diseases, were prepd. and formulated. Thus, treating Me 2-amino-5-phenylbenzoate (prepn. given) with phosgene followed by addn. of (5-phenylbenzofuran-2-yl)methanol (prepn. given), and hydrolysis of the resulting Me ester afforded the acid V which showed pKi of 7.6 in in vitro human platelet receptor binding assay.

RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

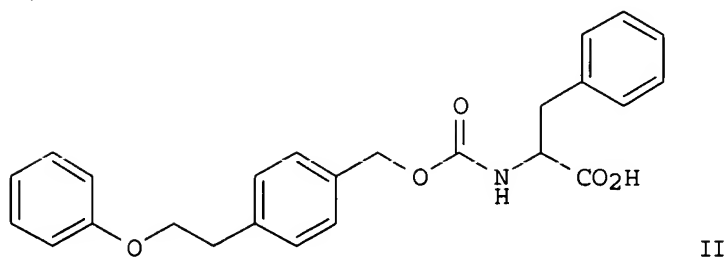
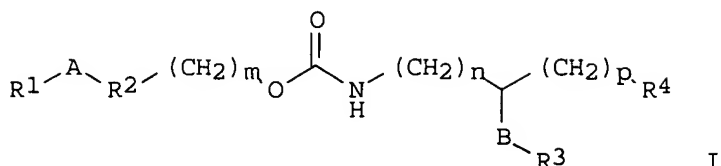
L6 ANSWER 3 OF 3 CAPLUS COPYRIGHT 2003 ACS on STN
AN 2001:693269 CAPLUS
DN 135:257467
TI Preparation of N-(arylmethoxycarbonyl)phenylalanine derivatives as
IP antagonists
IN Cournoyer, Richard Leo; Keitz, Paul Francis; Lowrie, Lee Edwin, Jr.;
Muehldorf, Alexander Victor; O'Yang, Counde; Yasuda, Dennis Mitsugu
PA F. Hoffmann-La Roche A.-G., Switz.
SO PCT Int. Appl., 100 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001068591	A1	20010920	WO 2001-EP2597	20010308
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CO, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG US 2000-190129PP 20000316 US 2000-247129PP 20001110 BR 2001009235 A 20021217 BR 2001-9235 20010308 US 2000-190129PP 20000316 US 2000-247129PP 20001110 WO 2001-EP2597 W 20010308 EP 2001-925395 20010308 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR US 2000-190129PP 20000316 US 2000-247129PP 20001110 WO 2001-EP2597 W 20010308 JP 2003527368 T2 20030916 JP 2001-567688 20010308 US 2000-190129PP 20000316 US 2000-247129PP 20001110 WO 2001-EP2597 W 20010308 US 2001-810436 20010314 US 2000-190129PP 20000316 US 2000-247129PP 20001110 NO 2002004387 A 20021021 NO 2002-4387 20020913 US 2000-190129PP 20000316 US 2000-247129PP 20001110				
US 2001056100	A1	20011227		

59/81 0536

OS MARPAT 135:257467

GI



AB Title compds. I [wherein R1, R2, and R3 = independently (un)substituted (hetero)aryl; R4 = COOH or tetrazolyl; A = single bond, O(CH2)q, S(CH2)q, NR'(CH2)q, (CH2)qO, O(CH2)qO, (CH2)qO(CH2)q, (CH2)nCO(CH2)q, CONH, (CH2)q, CH:CH, or C.tplbond.C; R' = H or alkyl; B = (CH2)r, CH2O, CH2OCH2, or CH2NH; m, q, and r = independently 1-3; n and p = independently 0-3; or individual isomers, racemic or nonracemic mixts. of isomers, or pharmaceutically acceptable salts or solvates thereof] were prepd. as prostaglandin IP receptor antagonists. For example, 4-vinylbenzoic acid was esterified with MeOH (97.4%). The ester was hydroborated with 9-BBN in THF and oxidized with alk. HOOH to give 4-(2-hydroxyethyl)benzoic acid Me ester (64.7%). Etherification with PhOH in the presence of PPh3 and diEt azodicarboxylate in THF (16.8%), followed by redn. with LiAlH4, condensation with Me (R)-2-isocyanato-3-phenylpropionate, and hydrolysis, afforded II. The latter showed affinity toward the human platelet IP receptor with a Ki value of 6.6. I are useful for the treatment of inflammatory conditions, pain, bladder disorders, hypotensive vascular diseases, and respiratory diseases, such as allergies and asthma (no data).

RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

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L7 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2003 ACS on STN
AN 2002:695967 CAPLUS
DN 137:232672
TI Preparation of substituted benzofuran-2-ylmethyl phenylcarbamates as
IP antagonists
IN Lopez-Tapia, Francisco Javier; Nitzan, Dov; O'Yang, Counde
PA F. Hoffmann-La Roche A.-G., Switz.

SO PCT Int. Appl., 69 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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	RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
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				US 2001-312559PP	20010815
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OS MARPAT 137:232672

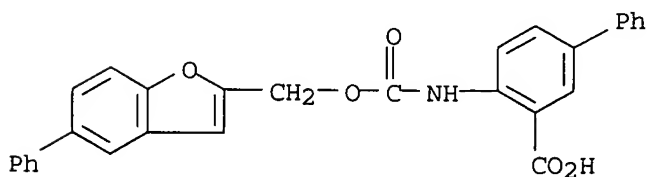
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 458537-79-6P 458537-80-9P 458537-82-1P
 458537-83-2P 458538-18-6P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of substituted benzofuran-2-ylmethyl phenylcarbamates as
IP antagonists)

RN 458537-01-4 CAPLUS

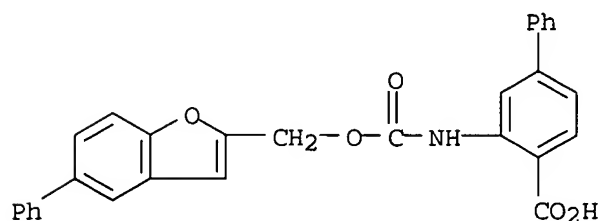
CN [1,1'-Biphenyl]-3-carboxylic acid, 4-[[[(5-phenyl-2-benzofuranyl)methoxy]carbonyl]amino]- (9CI) (CA INDEX NAME)



RN 458537-03-6 CAPLUS

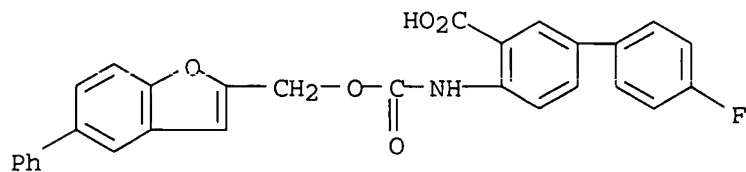
CN [1,1'-Biphenyl]-4-carboxylic acid, 3-[[[(5-phenyl-2-

benzofuranyl)methoxy]carbonyl]amino] - (9CI) (CA INDEX NAME)



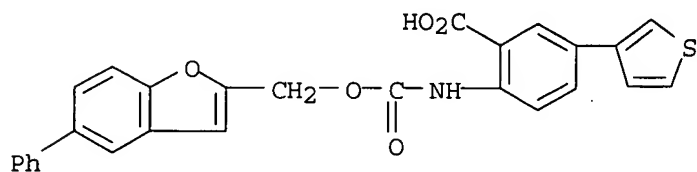
RN 458537-05-8 CAPLUS

CN [1,1'-Biphenyl]-3-carboxylic acid, 4'-fluoro-4-[[[(5-phenyl-2-benzofuranyl)methoxy]carbonyl]amino] - (9CI) (CA INDEX NAME)



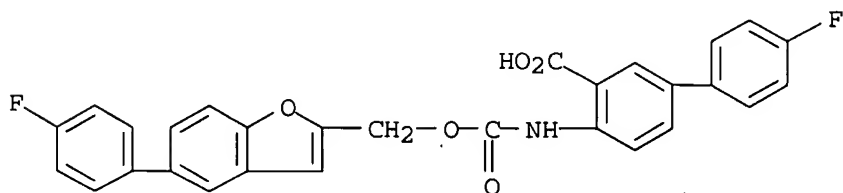
RN 458537-07-0 CAPLUS

CN Benzoic acid, 2-[[[(5-phenyl-2-benzofuranyl)methoxy]carbonyl]amino] -5-(3-thienyl) - (9CI) (CA INDEX NAME)



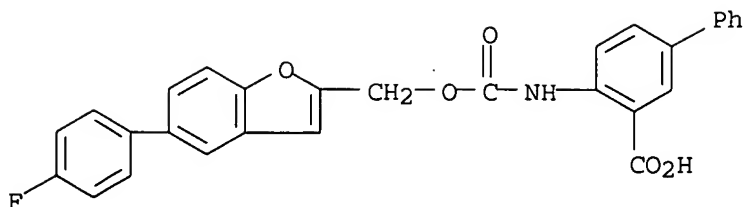
RN 458537-09-2 CAPLUS

CN [1,1'-Biphenyl]-3-carboxylic acid, 4'-fluoro-4-[[[5-(4-fluorophenyl)-2-benzofuranyl)methoxy]carbonyl]amino] - (9CI) (CA INDEX NAME)



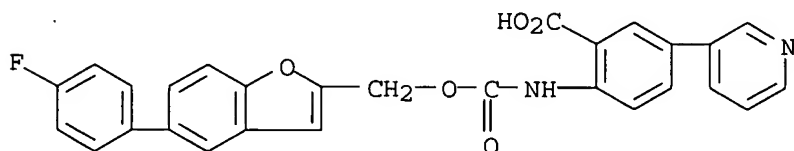
RN 458537-11-6 CAPLUS

CN [1,1'-Biphenyl]-3-carboxylic acid, 4-[[[5-(4-fluorophenyl)-2-benzofuranyl)methoxy]carbonyl]amino] - (9CI) (CA INDEX NAME)



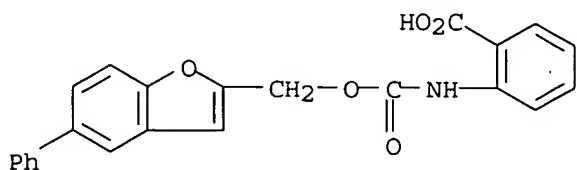
RN 458537-13-8 CAPLUS

CN Benzoic acid, 2-[[[5-(4-fluorophenyl)-2-benzofuranyl]methoxy]carbonyl]amino]-5-(3-pyridinyl)- (9CI) (CA INDEX NAME)



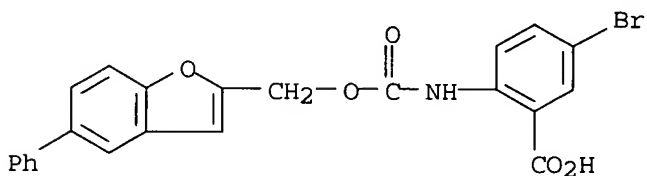
RN 458537-14-9 CAPLUS

CN Benzoic acid, 2-[[[5-(5-phenyl-2-benzofuranyl)methoxy]carbonyl]amino]- (9CI) (CA INDEX NAME)



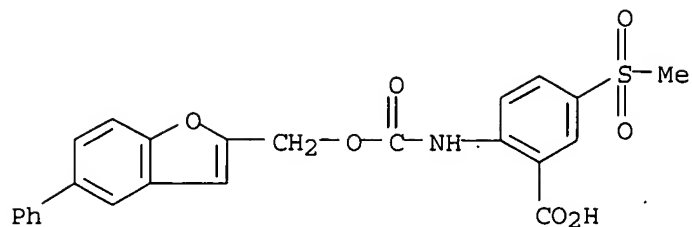
RN 458537-18-3 CAPLUS

CN Benzoic acid, 5-bromo-2-[[[5-(5-phenyl-2-benzofuranyl)methoxy]carbonyl]amino]- (9CI) (CA INDEX NAME)



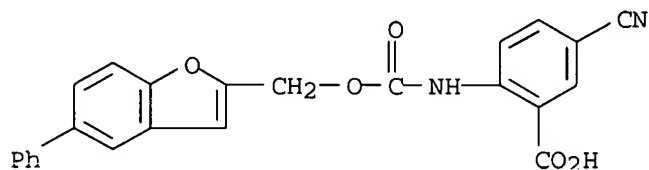
RN 458537-20-7 CAPLUS

CN Benzoic acid, 5-(methylsulfonyl)-2-[[[5-(5-phenyl-2-benzofuranyl)methoxy]carbonyl]amino]- (9CI) (CA INDEX NAME)



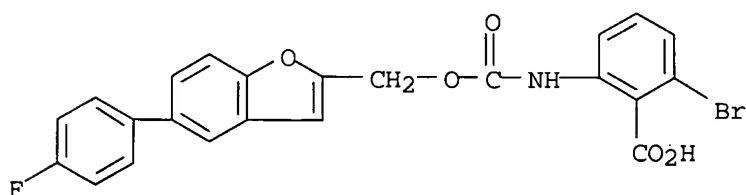
RN 458537-22-9 CAPLUS

CN Benzoic acid, 5-cyano-2-[[[5-(4-phenyl-2-benzofuranyl)methoxy]carbonyl]amino] - (9CI) (CA INDEX NAME)



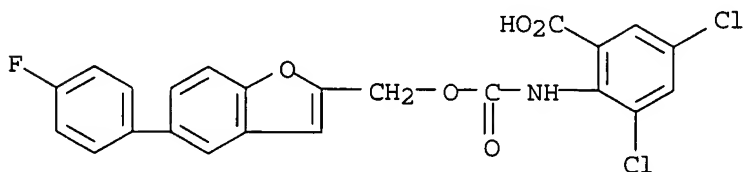
RN 458537-24-1 CAPLUS

CN Benzoic acid, 2-bromo-6-[[[5-(4-fluorophenyl)-2-benzofuranyl]methoxy]carbonyl]amino] - (9CI) (CA INDEX NAME)



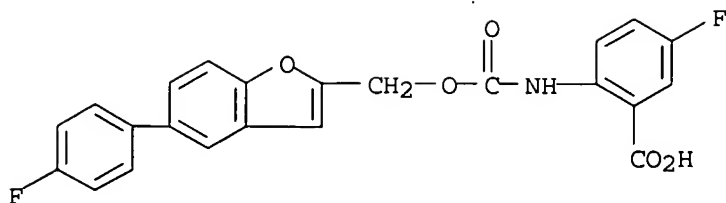
RN 458537-25-2 CAPLUS

CN Benzoic acid, 3,5-dichloro-2-[[[5-(4-fluorophenyl)-2-benzofuranyl]methoxy]carbonyl]amino] - (9CI) (CA INDEX NAME)



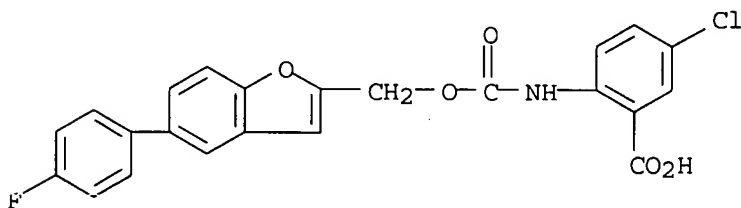
RN 458537-27-4 CAPLUS

CN Benzoic acid, 5-fluoro-2-[[[5-(4-fluorophenyl)-2-benzofuranyl]methoxy]carbonyl]amino] - (9CI) (CA INDEX NAME)



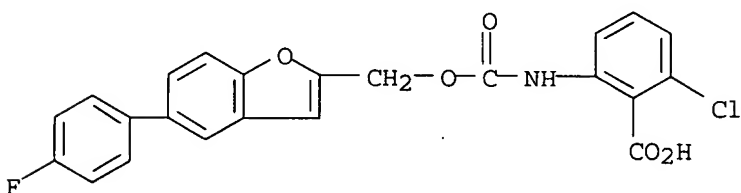
RN 458537-29-6 CAPLUS

CN Benzoic acid, 5-chloro-2-[[[5-(4-fluorophenyl)-2-benzofuranyl]methoxy]carbonyl]amino]- (9CI) (CA INDEX NAME)



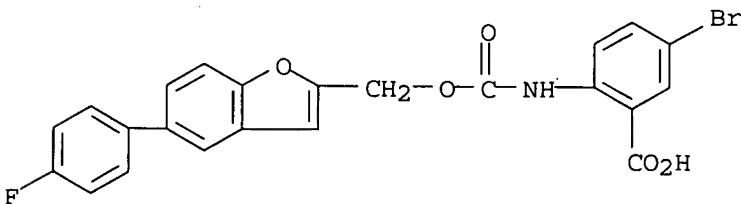
RN 458537-31-0 CAPLUS

CN Benzoic acid, 2-chloro-6-[[[5-(4-fluorophenyl)-2-benzofuranyl]methoxy]carbonyl]amino]- (9CI) (CA INDEX NAME)



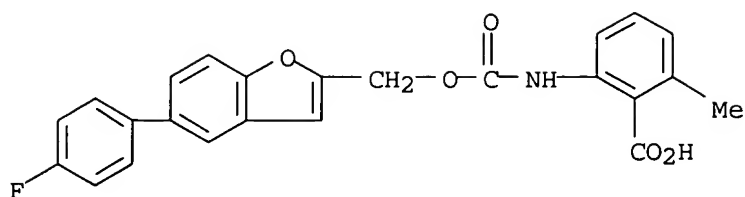
RN 458537-32-1 CAPLUS

CN Benzoic acid, 5-bromo-2-[[[5-(4-fluorophenyl)-2-benzofuranyl]methoxy]carbonyl]amino]- (9CI) (CA INDEX NAME)



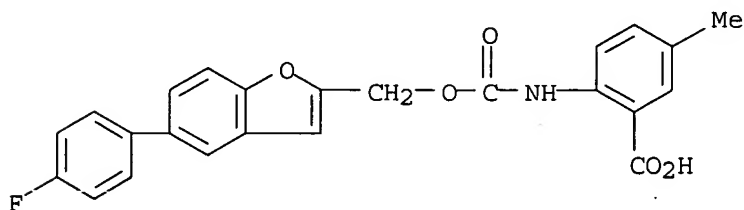
RN 458537-34-3 CAPLUS

CN Benzoic acid, 2-[[[5-(4-fluorophenyl)-2-benzofuranyl]methoxy]carbonyl]amino]-6-methyl- (9CI) (CA INDEX NAME)



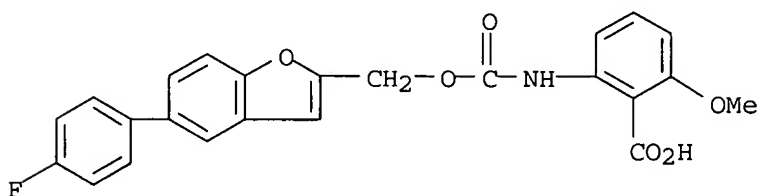
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CN Benzoic acid, 2-[[[5-(4-fluorophenyl)-2-benzofuranyl]methoxy]carbonyl]amino]-5-methyl- (9CI) (CA INDEX NAME)



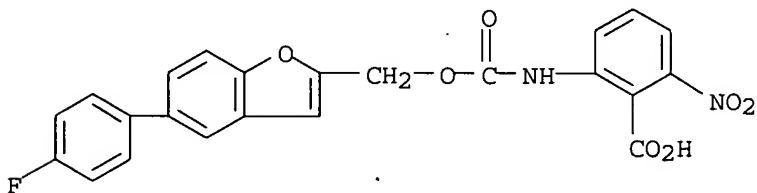
RN 458537-38-7 CAPLUS

CN Benzoic acid, 2-[[[5-(4-fluorophenyl)-2-benzofuranyl]methoxy]carbonyl]amino]-6-methoxy- (9CI) (CA INDEX NAME)



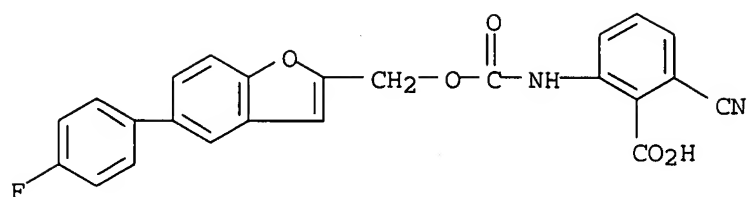
RN 458537-40-1 CAPLUS

CN Benzoic acid, 2-[[[5-(4-fluorophenyl)-2-benzofuranyl]methoxy]carbonyl]amino]-6-nitro- (9CI) (CA INDEX NAME)



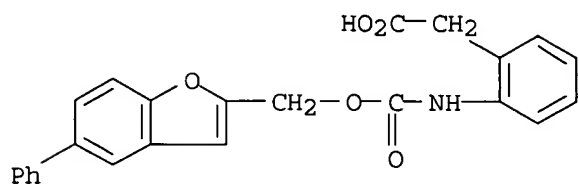
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CN Benzoic acid, 2-cyano-6-[[[5-(4-fluorophenyl)-2-benzofuranyl]methoxy]carbonyl]amino]- (9CI) (CA INDEX NAME)



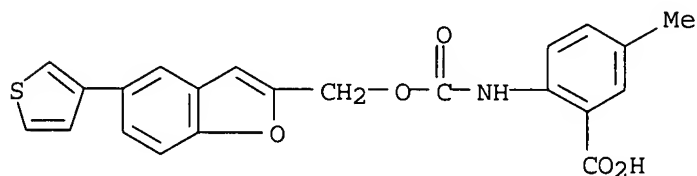
RN 458537-44-5 CAPLUS

CN Benzenecetic acid, 2-[[[5-(4-fluorophenyl)-2-benzofuranyl]methoxy]carbonyl]amino] - (9CI) (CA INDEX NAME)



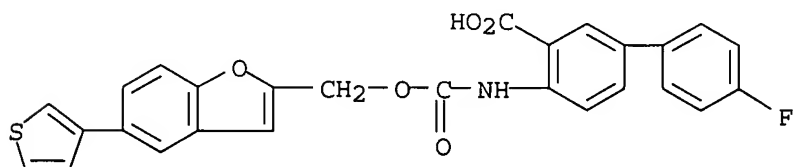
RN 458537-46-7 CAPLUS

CN Benzoic acid, 5-methyl-2-[[[5-(3-phenyl)-2-benzofuranyl]methoxy]carbonyl]amino] - (9CI) (CA INDEX NAME)



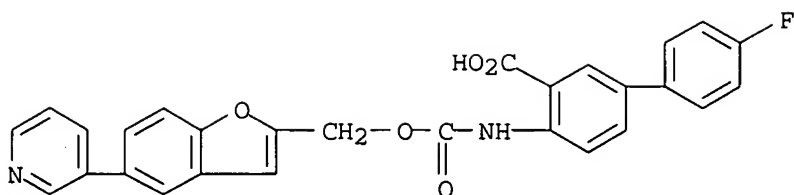
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CN [1,1'-Biphenyl]-3-carboxylic acid, 4'-fluoro-4-[[[5-(3-thienyl)-2-benzofuranyl]methoxy]carbonyl]amino] - (9CI) (CA INDEX NAME)



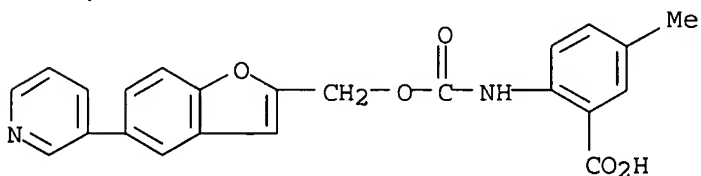
RN 458537-50-3 CAPLUS

CN [1,1'-Biphenyl]-3-carboxylic acid, 4'-fluoro-4-[[[5-(3-pyridinyl)-2-benzofuranyl]methoxy]carbonyl]amino] - (9CI) (CA INDEX NAME)



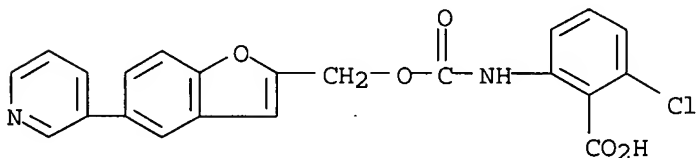
RN 458537-51-4 CAPLUS

CN Benzoic acid, 5-methyl-2-[[[5-(3-pyridinyl)-2-benzofuranyl]methoxy]carbonyl]amino] - (9CI) (CA INDEX NAME)



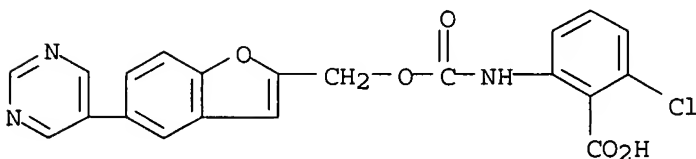
RN 458537-53-6 CAPLUS

CN Benzoic acid, 2-chloro-6-[[[5-(3-pyridinyl)-2-benzofuranyl]methoxy]carbonyl]amino] - (9CI) (CA INDEX NAME)



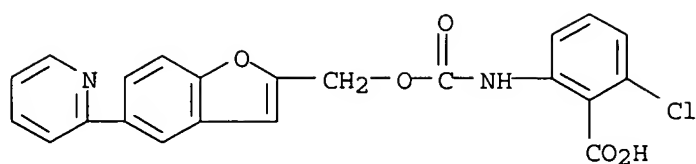
RN 458537-55-8 CAPLUS

CN Benzoic acid, 2-chloro-6-[[[5-(5-pyrimidinyl)-2-benzofuranyl]methoxy]carbonyl]amino] - (9CI) (CA INDEX NAME)



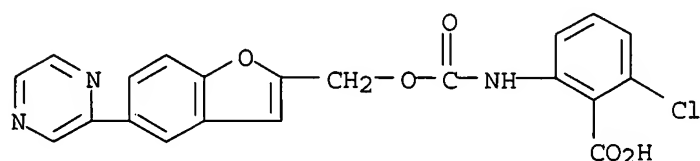
RN 458537-57-0 CAPLUS

CN Benzoic acid, 2-chloro-6-[[[5-(2-pyridinyl)-2-benzofuranyl]methoxy]carbonyl]amino] - (9CI) (CA INDEX NAME)



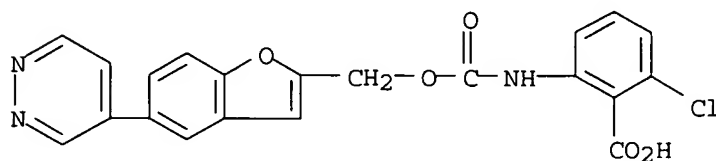
RN 458537-59-2 CAPLUS

CN Benzoic acid, 2-chloro-6-[[[(5-pyrazinyl-2-benzofuranyl)methoxy]carbonyl]amino]- (9CI) (CA INDEX NAME)



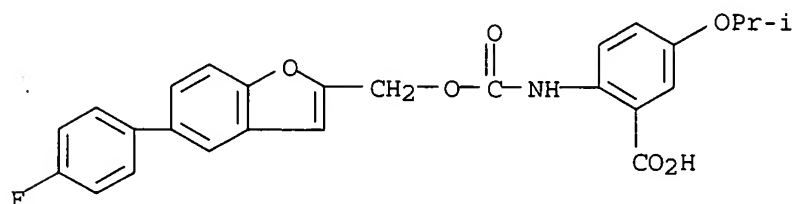
RN 458537-60-5 CAPLUS

CN Benzoic acid, 2-chloro-6-[[[5-(4-pyridazinyl)-2-benzofuranyl]methoxy]carbonyl]amino]- (9CI) (CA INDEX NAME)



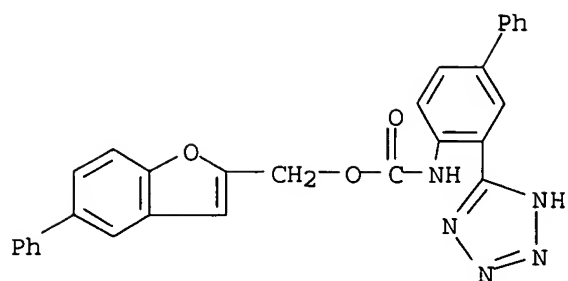
RN 458537-69-4 CAPLUS

CN Benzoic acid, 2-[[[[5-(4-fluorophenyl)-2-benzofuranyl]methoxy]carbonyl]amino]-5-(1-methylethoxy)- (9CI) (CA INDEX NAME)



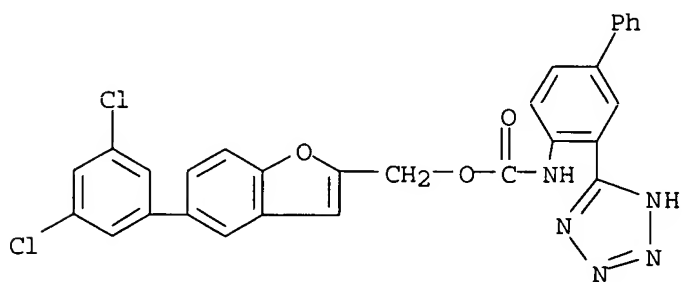
RN 458537-73-0 CAPLUS

CN Carbamic acid, [3-(1H-tetrazol-5-yl)[1,1'-biphenyl]-4-yl]-, (5-phenyl-2-benzofuranyl)methyl ester (9CI) (CA INDEX NAME)



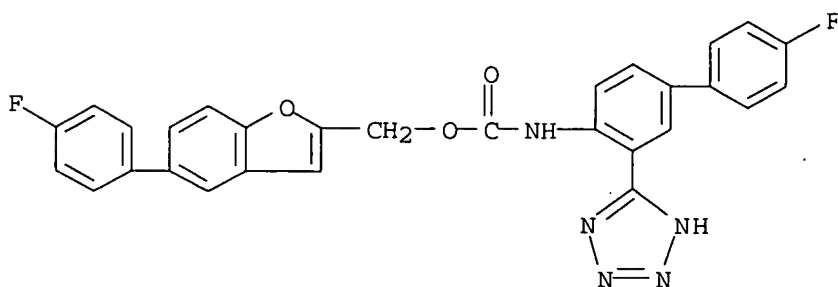
RN 458537-74-1 CAPLUS

CN Carbamic acid, [3-(1H-tetrazol-5-yl)[1,1'-biphenyl]-4-yl]-, [5-(3,5-dichlorophenyl)-2-benzofuranyl]methyl ester (9CI) (CA INDEX NAME)



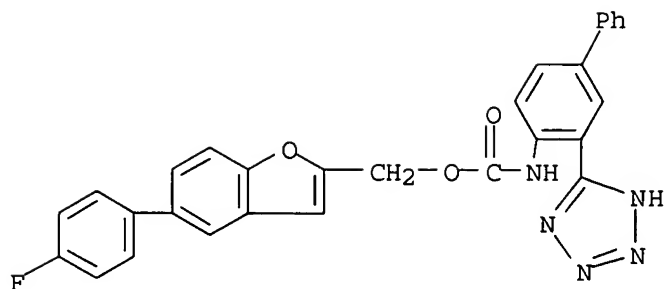
RN 458537-75-2 CAPLUS

CN Carbamic acid, [4'-fluoro-3-(1H-tetrazol-5-yl)[1,1'-biphenyl]-4-yl]-, [5-(4-fluorophenyl)-2-benzofuranyl]methyl ester (9CI) (CA INDEX NAME)



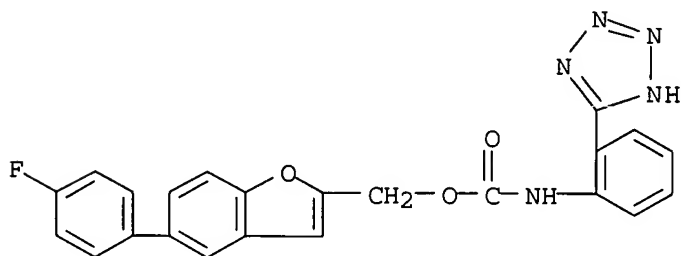
RN 458537-76-3 CAPLUS

CN Carbamic acid, [3-(1H-tetrazol-5-yl)[1,1'-biphenyl]-4-yl]-, [5-(4-fluorophenyl)-2-benzofuranyl]methyl ester (9CI) (CA INDEX NAME)



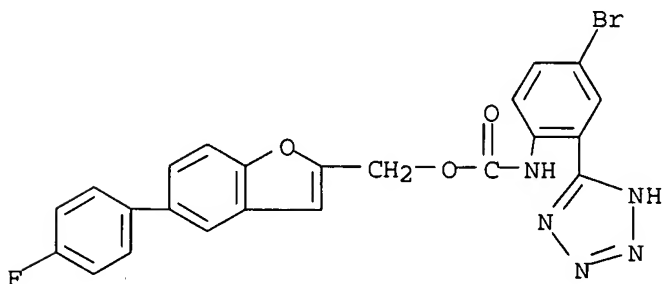
RN 458537-77-4 CAPLUS

CN Carbamic acid, [2-(1H-tetrazol-5-yl)phenyl]-, [5-(4-fluorophenyl)-2-benzofuranyl]methyl ester (9CI) (CA INDEX NAME)



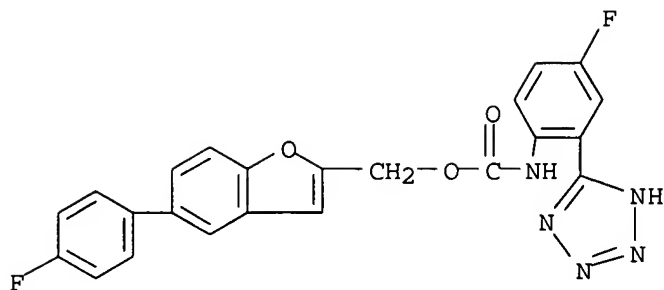
RN 458537-78-5 CAPLUS

CN Carbamic acid, [4-bromo-2-(1H-tetrazol-5-yl)phenyl]-, [5-(4-fluorophenyl)-2-benzofuranyl]methyl ester (9CI) (CA INDEX NAME)



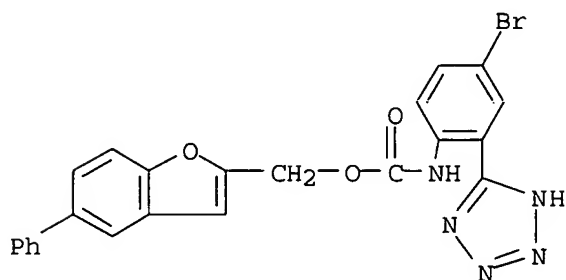
RN 458537-79-6 CAPLUS

CN Carbamic acid, [4-fluoro-2-(1H-tetrazol-5-yl)phenyl]-, [5-(4-fluorophenyl)-2-benzofuranyl]methyl ester (9CI) (CA INDEX NAME)



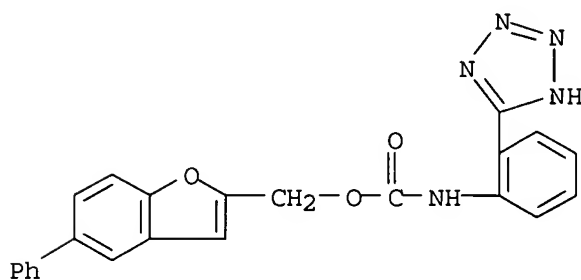
RN 458537-80-9 CAPLUS

CN Carbamic acid, [4-bromo-2-(1H-tetrazol-5-yl)phenyl]-, (5-phenyl-2-benzofuranyl)methyl ester (9CI) (CA INDEX NAME)



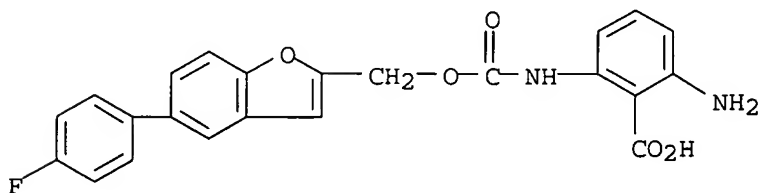
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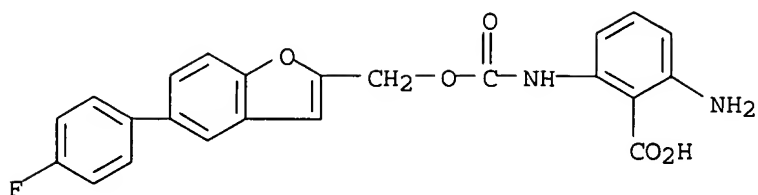
CN Carbamic acid, [2-(1H-tetrazol-5-yl)phenyl]-, (5-phenyl-2-benzofuranyl)methyl ester (9CI) (CA INDEX NAME)



RN 458537-83-2 CAPLUS

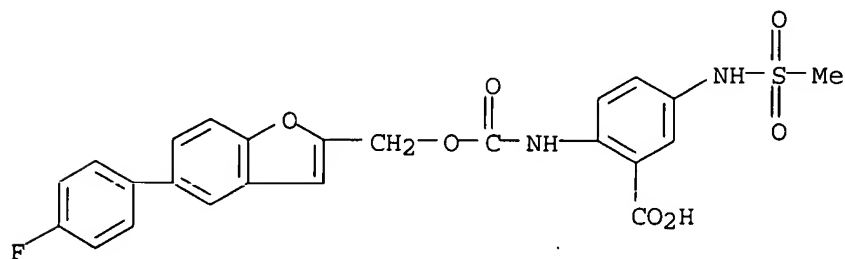
CN Benzoic acid, 2-amino-6-[[[5-(4-fluorophenyl)-2-benzofuranyl]methoxy]carbonyl]amino]- (9CI) (CA INDEX NAME)



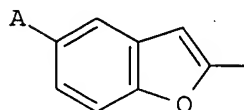


RN 458538-18-6 CAPLUS

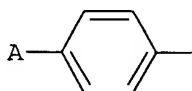
CN Benzoic acid, 2-[[[5-(4-fluorophenyl)-2-benzofuranyl]methoxy]carbonyl]amino]-5-[(methylsulfonyl)amino]- (9CI) (CA INDEX NAME)



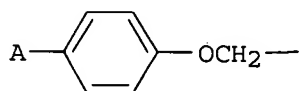
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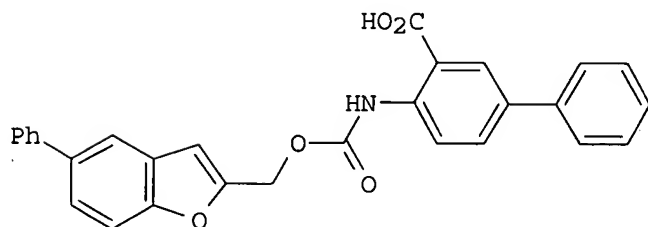
II



III



IV



V

AB The title alkoxy carbonylamino benzoic acid or alkoxy carbonylamino tetrazolyl Ph derivs. G1CH2OCONHG2 [I; G1 = II-IV (wherein A = (un)substituted Ph, pyridyl, pyrimidinyl, etc.); G2 = (un)substituted

2-carboxyphenyl, 3-carboxybiphenyl-4-yl, 3-(1H-tetrazol-5-yl)biphenyl-4-yl, etc.] which are generally IP receptor antagonists useful in treating disorders of the urinary tract, pain, inflammation, respiratory states such as allergies and asthma, edema formation or hypotensive vascular diseases, were prepd. and formulated. Thus, treating Me 2-amino-5-phenylbenzoate (prepn. given) with phosgene followed by addn. of (5-phenylbenzofuran-2-yl)methanol (prepn. given), and hydrolysis of the resulting Me ester afforded the acid V which showed pKi of 7.6 in in vitro human platelet receptor binding assay.

RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

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COST IN U.S. DOLLARS

SINCE FILE	TOTAL
ENTRY	SESSION
32.30	180.66

FULL ESTIMATED COST

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

SINCE FILE	TOTAL
ENTRY	SESSION
-3.26	-3.26

CA SUBSCRIBER PRICE

STN INTERNATIONAL LOGOFF AT 08:30:59 ON 11 OCT 2003

L Number	Hits	Search Text	DB	Time stamp
1	4983	("514/183,381,449,467,469").CCLS	USPAT	2003/10/11 11:10
2	984	("548/250,252").CCLS	USPAT	2003/10/11 11:10
3	1592	("549/432,434,462,491,497").CCLS	USPAT	2003/10/11 11:10
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L Number	Hits	Search Text	DB	Time stamp
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3	1592	("549/432,434,462,491,497").CCLS	USPAT	2003/10/11 11:10
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L Number	Hits	Search Text	DB	Time stamp
1	2336	Prostaglandin and Pain	USPAT	2003/10/11 09:39
2	3353	Prostaglandin and inflammation	USPAT	2003/10/11 09:39
3	37536	Prostaglandin and urinary tract	USPAT	2003/10/11 09:40
4	753	(Prostaglandin and Pain) and (Prostaglandin and inflammation) and (Prostaglandin and urinary tract)	USPAT	2003/10/11 09:40
5	52	((Prostaglandin and Pain) and (Prostaglandin and inflammation) and (Prostaglandin and urinary tract)) and benzofuran	USPAT	2003/10/11 09:40
6	43	((Prostaglandin and Pain) and (Prostaglandin and inflammation) and (Prostaglandin and urinary tract)) and tetrazole	USPAT	2003/10/11 09:40
7	10	((Prostaglandin and Pain) and (Prostaglandin and inflammation) and (Prostaglandin and urinary tract)) and benzofuran) and ((Prostaglandin and Pain) and (Prostaglandin and inflammation) and (Prostaglandin and urinary tract)) and tetrazole)	USPAT	2003/10/11 09:40

L Number	Hits	Search Text	DB	Time stamp
1	2336	Prostaglandin and Pain	USPAT	2003/10/11 09:39
2	3353	Prostaglandin and inflammation	USPAT	2003/10/11 09:39
3	37536	Prostaglandin and urinary tract	USPAT	2003/10/11 09:40
4	753	(Prostaglandin and Pain) and (Prostaglandin and inflammation) and (Prostaglandin and urinary tract)	USPAT	2003/10/11 09:40
5	52	((Prostaglandin and Pain) and (Prostaglandin and inflammation) and (Prostaglandin and urinary tract)) and benzofuran	USPAT	2003/10/11 09:40
6	43	((Prostaglandin and Pain) and (Prostaglandin and inflammation) and (Prostaglandin and urinary tract)) and tetrazole	USPAT	2003/10/11 09:40
7	10	((((Prostaglandin and Pain) and (Prostaglandin and inflammation) and (Prostaglandin and urinary tract)) and benzofuran) and ((Prostaglandin and Pain) and (Prostaglandin and inflammation) and (Prostaglandin and urinary tract)) and tetrazole)	USPAT	2003/10/11 09:40